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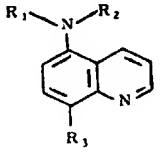
26.08.86-JP-199458 (08.03.88) A61k-31/47 C07d-207 C07d-213 C07d-215/38 C07d-307 C07d-401/04 C07d-403/04 C07d-405/04

New quinoline derivs. - useful for treatment of heart disease, arthritis, lumbago or tooth:ache

C88-046512

Quinoline derivatives (I) are new:



(I)

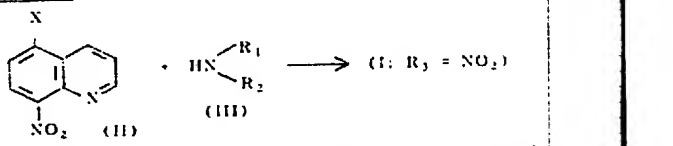
R_1 = H;
R_2 = opt.substd. lower alkyl;
or NR_1R_2 = nitrogen-, oxygen- or sulphur-contg. ring opt. having a substituent;
R_3 = nitro, amino or acylumino.

B(6-D2, 12-D1, 12-D3 12-D7, 12-F1A, 12-F1B)

USE

(I) are useful for treatment of heart disease, arthritis, lumbago or toothache, because they show cardiotonic, antiarrhythmic, antiinflammatory and analgesic activities.

PREPARATION

(I) 

(II) (III)

(2) (I; NR_1R_2 = piperazino; $R_3 = NO_2$) may be reacted with R_5-Y to give (I; NR_1R_2 = 4-(R_5)-piperazino; $R_3 = NO_2$);
Y = leaving group;
R_5 = lower alkyl, aralkyl, acyl, formyl, aroyl, heteroaroyl, pyridyl or arylsulphonyl, all opt.substd.

(3) (I; $R_3 = NO_2$) may be reduced to (I; $R_3 = NH_2$) then opt. N-acylated.

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EXAMPLE

5-Chloro-8-nitroquinoline (2.50g) and piperazine (5.16g) were dissolved in 2-ethoxyethanol (50 ml.). The soln. was heated under reflux for 5 hours and concd. Ice-water was added and the mixt. was extd. with chloroform.

The extract was washed, dried and concd. The residue was chromatographed on a column of silica gel with chloroform-methanol (95:5) to give crystals, which were recrystd. from chloroform-ether to give 8-nitro-5-piperidino-quinoline (2.70g), yield 85%, m.pt. 119-121°C.
(7ppW33DAHDwgNo0/0).

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